CORRECTED VERSION

(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 9 September 2005 (09.09.2005)

PCT

(10) International Publication Number $WO\ 2005/082849\ A1$

(51) International Patent Classification⁷: **C07D 207/273**, 487/10, A61P 3/00

(21) International Application Number:

PCT/US2005/006127

(22) International Filing Date: 23 February 2005 (23.02.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data: 60/547,226 23 February 2004 (23.02.2004) US

(71) Applicant (for all designated States except US): TRUSTEES OF TUFTS COLLEGE [US/US]; Ballou Hall, Medford, MA 02155 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): BACHOVCHIN, William, W. [US/US]; 71 Warwick Street, Melrose, MA 02176 (US). LAI, Hung-sen [US/US]; 7 Conant Road U-70, Winchester, MA 01890 (US).

(74) Agents: FECKER, Jesse, A. et al.; Ropes & Gray LLP, One International Place, Boston, MA 02110-2624 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

(48) Date of publication of this corrected version:

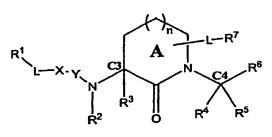
10 November 2005

(15) Information about Correction:

see PCT Gazette No. 45/2005 of 10 November 2005, Section II

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: LACTAMS AS CONFORMATIONALLY CONSTRAINED PEPTIDOMIMETIC INHIBITORS



(I)

such as inhibitors of dipeptidyl peptidase IV, as well as pharmaceutical compositions thereof, and methods for using such inhibitors. In particular, the inhibitors of the present invention incorporate a lactam ring in the backbone of the inhibitors. The compounds of the present invention can have a better therapeutic index, owing in part to reduced

(57) **Abstract:** The present invention relates to inhibitors of post-proline cleaving enzyme,

VO 2005/082849

toxicity and/or improved specificity for the targeted protease.